

PALM INTRANET

Day : Thursday
Date: 11/10/2005

Time: 15:37:07

Inventor Information for 10/516876

Inventor Name	City	State/Country
EGGENWEILER, HANS-MICHAEL	DARMSTADT	GERMANY
WOLF, MICHAEL	DARMSTADT	GERMANY

Appln Info

Contents

Petition Info

Atty/Agent Info

Continuity Data

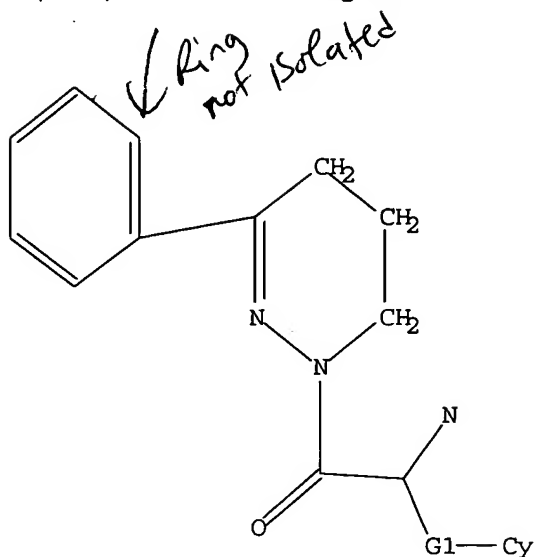
Foreign Data

Search Another: Application# Search or Patent# SearchPCT / / Search or PG PUBS # SearchAttorney Docket # SearchBar Code # Search

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Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	8874	544/224, 544/238, 544/235, 544/237, 544/182, 544/215, 514/241, 514/247, 514/248, 514/249, 514/252.02, 514/252.03, 514/252.04, 514/252.05, 206/570	US-PGPUB; USPAT	OR	OFF	2005/11/10 15:38
L3	1947	544/224, 544/238, 544/235, 544/237, 544/182, 544/215, 514/241, 514/247, 514/248, 514/249, 514/252.02, 514/252.03, 514/252.04, 514/252.05, 206/570	US-PGPUB	OR	OFF	2005/11/10 15:38
L4	6927	544/224, 544/238, 544/235, 544/237, 544/182, 544/215, 514/241, 514/247, 514/248, 514/249, 514/252.02, 514/252.03, 514/252.04, 514/252.05, 206/570	USPAT	OR	OFF	2005/11/10 15:38



G1 A,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:19:22 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 25 TO ITERATE

100.0% PROCESSED 25 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 200 TO 800

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 15:19:30 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 383 TO ITERATE

100.0% PROCESSED 383 ITERATIONS

20 ANSWERS

SEARCH TIME: 00.00.01

L3 20 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

161.33

161.54

FILE 'CAPLUS' ENTERED AT 15:19:35 ON 10 NOV 2005

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L4 1 L3

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2003:991487 CAPLUS

DOCUMENT NUMBER:

140:42462

TITLE:

Synthesis of tyrosinyl or alaninyl pyridazine derivatives for use as phosphodiesterase IV inhibitors for the treatment of allergic, autoimmune and inflammatory diseases

INVENTOR(S):

Eggenweiler, Hans-Michael; Wolf, Michael

PATENT ASSIGNER(S):

Merck Patent G.m.b.H., Germany

SOURCE:

PCT Int. Appl., 129 pp.

CODEN: PIXX02

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

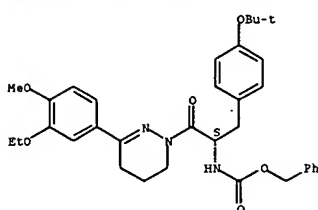
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104204	A1	20031218	WO 2003-EP4930	20030512
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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DE 10224888	A1	20031224	DE 2002-10224888	20020605
CA 2488372	AA	20031218	CA 2003-2488372	20030512
EP 1509506	A1	20050302	EP 2003-725186	20030512
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BR 2003011343	A	20050322	BR 2003-11343	20030512
US 2005176714	A1	20050811	US 2003-516876	20030512
PRIORITY APPL. INFO.:			DE 2002-10224888	A
			WO 2003-EP4930	W
OTHER SOURCE(S):		MARPAT 140:42462		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Synthesis of title compds., e.g. (I,III), which act as phosphodiesterase IV inhibitors and can be used for treating osteoporosis, tumors, cachexia, atherosclerosis, rheumatoid arthritis, multiple sclerosis, diabetes mellitus, inflammatory processes, allergies, asthma, autoimmune diseases, myocardial diseases, and AIDS (no data), was given. Thus, Z-L-Tyr(tBu)-OSu was reacted with (II), the tBu protecting group cleaved, and the resulting intermediate reacted with Cl-(CH₂)₂NMe₂.HCl to give an intermediate which was Tyr-N-deprotected to give I. Similarly, Boc-P-(3-pyridyl)-D-Ala-OH led to III. In vitro tests for effectiveness were described without results, and formulations for various

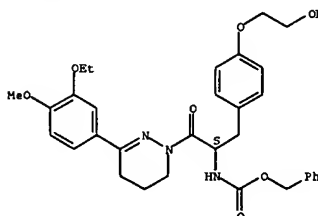
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 634590-72-0 CAPLUS

CN Carbamic acid, [(1S)-2-[3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-1(4H)-pyridazinyl]-1-[[4-(2-hydroxyethoxy)phenyl]methyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

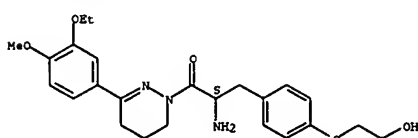
Absolute stereochemistry.



RN 634590-73-1 CAPLUS

CN Pyridazine, 1-[(2S)-2-amino-3-[4-(2-hydroxyethoxy)phenyl]-1-oxopropyl]-3-(3-ethoxy-4-methoxyphenyl)-1,4,5,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

forms of administration were given.

IT 634590-90-2P

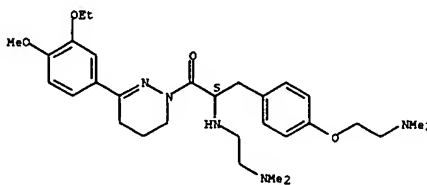
RL: BYP (Byproduct); PREP (Preparation)

(preparation of tyrosinyl or alaninyl pyridazine derivs. for use as phosphodiesterase IV inhibitors for the treatment of diseases)

RN 634590-90-2 CAPLUS

CN Pyridazine, 1-[(2S)-3-[4-(2-(dimethylamino)ethoxy)phenyl]-2-[[2-(dimethylamino)ethyl]amino]-1-oxopropyl]-3-(3-ethoxy-4-methoxyphenyl)-1,4,5,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 634590-71-9P 634590-72-0P 634590-73-1P

634590-74-2P 634590-75-3P 634590-76-4P

634590-77-5P 634590-78-6P 634590-79-7P

634590-81-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tyrosinyl or alaninyl pyridazine derivs. for use as phosphodiesterase IV inhibitors for the treatment of diseases)

RN 634590-71-9 CAPLUS

CN Carbamic acid, [(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-1(4H)-pyridazinyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

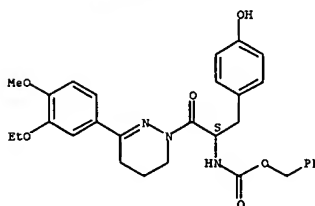
Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 634590-74-2 CAPLUS

CN Carbamic acid, [(1S)-2-[3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-1(4H)-pyridazinyl]-1-[[4-(hydroxyphenyl)methyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

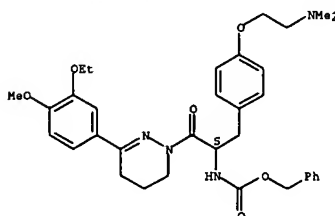
Absolute stereochemistry.



RN 634590-75-3 CAPLUS

CN Carbamic acid, [(1S)-1-[[4-(2-(dimethylamino)ethoxy)phenyl]methyl]-2-[3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-1(4H)-pyridazinyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

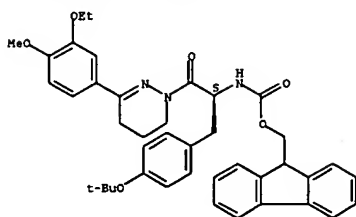


RN 634590-76-4 CAPLUS

CN Carbamic acid, [(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-1(4H)-pyridazinyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

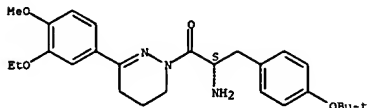
Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



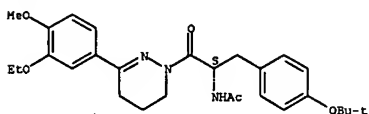
RN 634590-77-5 CAPLUS
CN Pyridazine, 1-[(2S)-2-amino-3-[4-(1,1-dimethylethoxy)phenyl]-1-oxopropyl]-3-(3-ethoxy-4-methoxyphenyl)-1,4,5,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



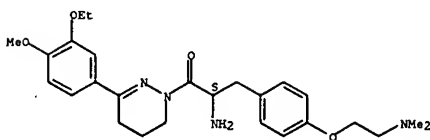
RN 634590-78-6 CAPLUS
CN Acetamide, N-[(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-1(4H)-pyridazinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



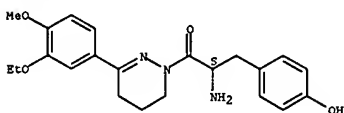
RN 634590-79-7 CAPLUS
CN Carbanic acid, [(1R)-2-[3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-1(4H)-pyridazinyl]-2-oxo-1-(3-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



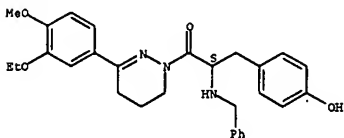
RN 634590-83-3 CAPLUS
CN Pyridazine, 1-[(2S)-2-amino-3-(4-hydroxyphenyl)-1-oxopropyl]-3-(3-ethoxy-4-methoxyphenyl)-1,4,5,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 634590-84-4 CAPLUS
CN Pyridazine, 3-(3-ethoxy-4-methoxyphenyl)-1,4,5,6-tetrahydro-1-[(2S)-3-(4-hydroxyphenyl)-1-oxo-2-[(phenylmethyl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

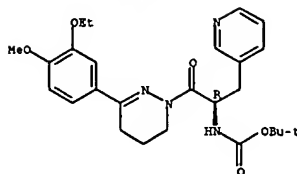


RN 634590-85-5 CAPLUS
CN Carbanic acid, [(1R)-2-[3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-1(4H)-pyridazinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

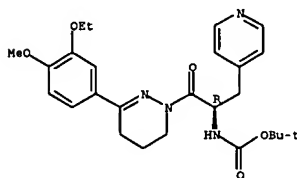
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



RN 634590-81-1 CAPLUS
CN Carbanic acid, [(1R)-2-[3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-1(4H)-pyridazinyl]-2-oxo-1-(4-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

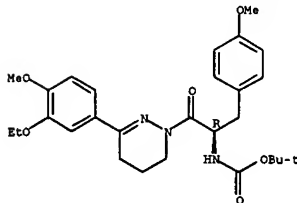
Absolute stereochemistry.



IT 634590-82-2P 634590-83-3P 634590-84-4P
634590-85-5P 634590-86-6P 634590-87-7P
634590-88-8P 634590-89-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tyrosinyl or alaninyl pyridazine derivs. for use as phosphodiesterase IV inhibitors for the treatment of diseases)
RN 634590-82-2 CAPLUS
CN Pyridazine, 1-[(2S)-2-amino-3-[4-(2-(dimethylamino)ethoxy)phenyl]-1-oxopropyl]-3-(3-ethoxy-4-methoxyphenyl)-1,4,5,6-tetrahydro- (9CI) (CA INDEX NAME)

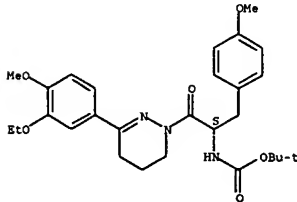
Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



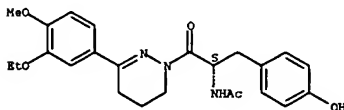
RN 634590-86-6 CAPLUS
CN Carbanic acid, [(1S)-2-[3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-1(4H)-pyridazinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 634590-87-7 CAPLUS
CN Acetamide, N-[(1S)-2-[3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-1(4H)-pyridazinyl]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

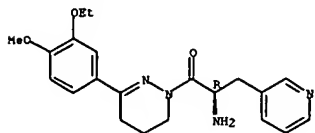
Absolute stereochemistry.



RN 634590-88-8 CAPLUS
CN Pyridazine, 1-[(2R)-2-amino-1-oxo-3-(3-pyridinyl)propyl]-3-(3-ethoxy-4-methoxyphenyl)-1,4,5,6-tetrahydro- (9CI) (CA INDEX NAME)

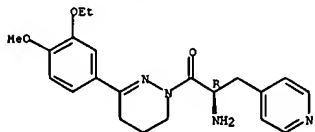
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methoxyphenyl)-1,4,5,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 634590-89-9 CAPLUS
CN Pyridazine, 1-[(2R)-2-amino-1-oxo-3-(4-pyridinyl)propyl]-3-(3-ethoxy-4-methoxyphenyl)-1,4,5,6-tetrahydro- (9CI) (CA INDEX NAME)

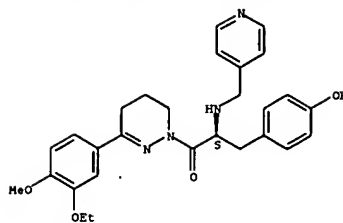
Absolute stereochemistry.



IT 634590-91-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of tyrosinyl or alaninyl pyridazine derivs. for use as phosphodiesterase IV inhibitors for the treatment of diseases)
RN 634590-91-3 CAPLUS
CN Pyridazine, 3-(3-ethoxy-4-methoxyphenyl)-1,4,5,6-tetrahydro-1-[(2S)-3-(4-hydroxyphenyl)-1-oxo-2-[(4-pyridinylmethyl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT